

Patent

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

IN THE APPLICATION OF: Copeland et al
CASE NO.: PH-7134
SERIAL NO.: Unknown
FILING DATE: March 15, 2001-03-15

FOR: PEPTIDASE-CLEAVABLE, TARGETED ANTINEOPLASTIC DRUGS
AND THEIR THERAPEUTIC USE

GROUP NUMBER: UNASSIGNED
EXAMINER: UNASSIGNED

Assistant Commissioner for Patents
Washington, DC 20231

**SUBMISSION OF SEQUENCE LISTING & COMPUTER READABLE COPY FOR
INVENTION CONTAINING AMINO ACID SEQUENCE**

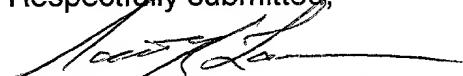
Sir:

Submitted herewith is/are:

- A. "Sequence Listing(s)" for the nucleotide and/or amino acid sequence(s) in this application. Each "Sequence Listing" is assigned a separate identifier as required in 37 C.F.R. §1.821(c) and 37 C.F.R. § 1.822 and 1.823.
- B. A copy of each "Sequence Listing" submitted for this application in computer readable form, in accordance with the requirements of 37 CFR §§ 1.821(e) and 1.824.
- C. A statement that the content of each "Sequence Listing" submitted and each computer readable copy are the same, as required in 37 C.F.R. § 1.821(g).

I hereby state that the information recorded in computer readable form is identical to the written sequence enclosed herein, respectively, and that the Listing does not introduce any new matter.

Respectfully submitted,



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Dated: March 15, 2001

EXPRESS MAIL NUMBER: EL387242709US – MAILING DATE: MARCH 15, 2001

SEQUENCE LISTING.txt

SEQUENCE LISTING

<110> DuPont Pharmaceuticals Company

<120> Peptidase-cleavable, targeted antineoplastic drugs and their therapeutic use

<130> PH-7134

<150> 60/189,387

<151> 2000-03-15

<160> 210

<170> PatentIn version 3.0

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SEQUENCE LISTING.txt

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<220>

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<223> 3-thienylalanine

<400> 66

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1 5

<210> 67

<211> 6

<212> PRT

<213> Artificial

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1 5

<210> 68

<211> 6

<212> PRT

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<400> 68

Xaa Pro Leu Gly Xaa Leu
 1 5

<210> 69
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<400> 69

Xaa Pro Leu Gly Xaa Leu
 1 5

<210> 70
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1 5

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1 5

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<223> biphenylalanine

<400> 72

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1 5

<210> 73

<211> 6

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<210> 74

<211> 6

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Xaa Pro Leu Gly Xaa Ala
 1 5

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<220>
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 1 5

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<400> 76

Xaa Pro Leu Gly Xaa Phe
 1 5

<210> 77
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<220>
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<400> 77

Xaa Pro Gln Gly Leu Leu
 1 5

<210> 78
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<400> 78

Xaa Pro Arg Gly Leu Leu
 1 5

<210> 79
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SEQUENCE LISTING.txt

<212> PRT
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<400> 79

Xaa Pro Leu Gly Leu Xaa
1 5

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<400> 80

Xaa Pro Leu Gly Leu Arg
1 5

<210> 81
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<220>
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<220>

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1 5

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Xaa Pro Leu Gly Val Leu
1 5

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<220>
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<220>
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<400> 83

SEQUENCE LISTING.txt

Xaa Pro Leu Gly Xaa Leu
1 5

<210> 84
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<220>
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<220>
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<400> 84

Xaa Pro Leu Ala Leu Leu
1 5

<210> 85
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<220>
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<220>
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<400> 85

Xaa Pro Ile Gly Xaa Leu
1 5

<210> 86
<211> 6
<212> PRT
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<220>
 <221> MOD_RES
 <222> (5)..(5)
 <223> biphenylalanine

<400> 86

Xaa Pro Xaa Gly Xaa Leu
 1 5

<210> 87
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<220>
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<220>
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<400> 87

Xaa Pro Val Gly Leu Leu
 1 5

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SEQUENCE LISTING.txt

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<400> 88

Xaa Pro Ile Gly Leu Leu
 1 5

<210> 89
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<220>
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<400> 89

Xaa Pro Arg Gly Xaa Leu
 1 5

<210> 90
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<220>
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SEQUENCE LISTING.txt

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<400> 90

Xaa Pro Leu Gly Leu Xaa
 1 5

<210> 91
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<220>
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<220>
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<400> 91

Xaa Pro Leu Gly Glu Leu
 1 5

<210> 92
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<220>
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SEQUENCE LISTING.txt

<400> 92

Xaa Pro Lys Gly Xaa Leu
1 5

<210> 93

<211> 6

<212> PRT

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<220>

<223> no comment

<220>

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<400> 93

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1 5

<210> 94

<211> 6

<212> PRT

<213> Artificial

<220>

<223> no comment

<220>

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<220>

<221> MOD_RES

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<223> biphenylalanine

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Xaa Pro Leu Gly Xaa Glu
1 5

<210> 95

SEQUENCE LISTING.txt

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<220>
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<222> (4)..(4)
<223> sarcosine (N-methylglycine)

<220>
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<223> homophenylalanine

<400> 95

Xaa Pro Arg Xaa Xaa Arg Leu
1 5

<210> 96
<211> 7
<212> PRT
<213> Artificial

<220>
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<400> 96

SEQUENCE LISTING.txt

Xaa Pro Arg Gly Xaa Arg Leu
1 5

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<220>
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<220>
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<400> 97

Xaa Pro Arg Gly Xaa Arg Leu
1 5

<210> 98
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Xaa Pro Leu Gly Asn Leu
1 5

<210> 99
<211> 6
<212> PRT

SEQUENCE LISTING.txt

<213> Artificial

<220>

<223> no comment

<220>

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Xaa Pro Leu Gly Ser Leu
1 5

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<220>

<223> no comment

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<220>

<221> MOD_RES

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1 5

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<211> 6

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<223> no comment

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Xaa Leu Gly Xaa His Leu
1 5

<210> 102
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<220>
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<400> 102

Xaa Leu Gly Xaa Ala Leu
1 5

<210> 103
<211> 6
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SEQUENCE LISTING.txt

<223> acetyl-proline

<220>

<221> MOD_RES

<222> (4)..(4)

<223> homphenylalanine

<400> 103

Xaa Leu Gly Xaa Tyr Leu

1 5

<210> 104

<211> 6

<212> PRT

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<220>

<223> no comment

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<220>

<221> MOD_RES

<222> (4)..(4)

<223> homophenylalanine

<220>

<221> MOD_RES

<222> (5)..(5)

<223> morpholinylpropyl-glycine

<400> 104

Xaa Leu Gly Xaa Xaa Leu

1 5

<210> 105

<211> 7

<212> PRT

<213> Artificial

<220>

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<223> no comment

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<222> (1)..(1)

<223> acetyl-gamma-glutamic acid

<220>

<221> MOD_RES

<222> (5)..(5)

<223> homophenylalanine

<400> 105

Xaa Pro Leu Gly Xaa Tyr Leu

1 5

<210> 106

<211> 6

<212> PRT

<213> Artificial

<220>

<223> no comment

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<222> (1)..(1)

<223> succinyl-proline

<220>

<221> MOD_RES

<222> (4)..(4)

<223> homophenylalanine

<400> 106

Xaa Leu Gly Xaa Tyr Leu

1 5

<210> 107

<211> 6

<212> PRT

<213> Artificial

<220>

<223> no comment

SEQUENCE LISTING.txt

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<220>
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 <222> (5)..(5)
 <223> (O-(4-pyridylmethyl)-tyrosine)

<220>
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 <222> (4)..(4)
 <223> homophenylalanine

<400> 107

Xaa Leu Gly Xaa Xaa Leu
 1 5

<210> 108
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<220>
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 <222> (1)..(1)
 <223> acetyl-proline

<220>
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 <222> (4)..(4)
 <223> homo-tyrosine

<400> 108

Xaa Leu Gly Xaa Tyr Leu
 1 5

<210> 109
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SEQUENCE LISTING.txt

<212> PRT
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<220>
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<222> (4)..(4)
<223> 4-aza-homophenylalanine

<400> 109

Xaa Leu Gly Xaa Tyr Leu
1 5

<210> 110
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<212> PRT
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<220>
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<223> (O-(4-pyridyl)-)-tyrosine)

<400> 110

Xaa Leu Gly Xaa Tyr Leu
1 5

<210> 111
<211> 6
<212> PRT

SEQUENCE LISTING.txt

<213> Artificial

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<223> no comment

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<223> acetyl-proline

<220>

<221> MOD_RES

<222> (4)..(4)

<223> phenylpropyl-glycine

<400> 111

Xaa Leu Gly Xaa Tyr Leu
1 5

<210> 112

<211> 6

<212> PRT

<213> Artificial

<220>

<223> no comment

<220>

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<222> (1)..(1)

<223> acetyl-proline

<220>

<221> MOD_RES

<222> (4)..(4)

<223> styryl-alanine

<400> 112

Xaa Leu Gly Xaa Tyr Leu
1 5

<210> 113

<211> 6

<212> PRT

<213> Artificial

SEQUENCE LISTING.txt

<220>
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<223> acetyl-proline

<220>
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<222> (4)..(4)
<223> O-benzyl-serine

<400> 113

Xaa Leu Gly Xaa Tyr Leu
1 5

<210> 114
<211> 6
<212> PRT
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<220>
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<220>
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<222> (2)..(2)
<223> N,N-dimethyl-lysine

<220>
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<222> (4)..(4)
<223> homophenylalanine

<400> 114

Xaa Xaa Gly Xaa Tyr Leu
1 5

SEQUENCE LISTING.txt

<210> 115
<211> 6
<212> PRT
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<220>
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<220>
<221> MOD_RES
<222> (4)..(4)
<223> homophenylalanine

<220>
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<222> (5)..(5)
<223> diaminopropionic acid

<400> 115

Xaa Leu Gly Xaa Xaa Leu
1 5

<210> 116
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<220>
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<220>
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<222> (4)..(4)
<223> homophenylalanine

SEQUENCE LISTING.txt

<220>
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 <222> (5)..(5)
 <223> ornithine

<400> 116

Xaa Leu Gly Xaa Xaa Leu
 1 5

<210> 117
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<220>
 <223> no comment

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<220>
 <221> MOD_RES
 <222> (4)..(4)
 <223> homophenylalanine

<220>
 <221> MOD_RES
 <222> (5)..(5)
 <223> ornithine

<400> 117

Xaa Leu Gly Xaa Xaa Leu
 1 5

<210> 118
 <211> 7
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<220>
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SEQUENCE LISTING.txt

<220>
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<220>
 <221> MOD_RES
 <222> (5)..(5)
 <223> homophenylalanine

<220>
 <221> MOD_RES
 <222> (6)..(6)
 <223> ornithine

<400> 118

Xaa Pro Leu Gly Xaa Xaa Leu
 1 5

<210> 119
 <211> 7
 <212> PRT
 <213> Artificial

<220>
 <223> no comment

<220>
 <221> MOD_RES
 <222> (1)..(1)
 <223> gamma-glutamic acid

<220>
 <221> MOD_RES
 <222> (5)..(5)
 <223> homophenylalanine

<220>
 <221> MOD_RES
 <222> (6)..(6)
 <223> ornithine

<400> 119

SEQUENCE LISTING.txt

Xaa Pro Leu Gly Xaa Xaa Leu
1 5

<210> 120
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<220>
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<220>
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<223> ornithine

<220>
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<222> (4)..(4)
<223> homophenylalanine

<220>
<221> MOD_RES
<222> (5)..(5)
<223> ornithine

<400> 120

Xaa Xaa Gly Xaa Xaa Leu
1 5

<210> 121
<211> 6
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<220>
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<220>
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<222> (1)..(1)

SEQUENCE LISTING.txt

<223> acetyl-proline

<220>

<221> MOD_RES

<222> (2)..(2)

<223> ornithine

<220>

<221> MOD_RES

<222> (4)..(4)

<223> homophenylalanine

<400> 121

Xaa Xaa Gly Xaa Tyr Leu
1 5

<210> 122

<211> 7

<212> PRT

<213> Artificial

<220>

<223> no comment

<220>

<221> MOD_RES

<222> (1)..(1)

<223> acetyl-gamma-glutamic acid

<220>

<221> MOD_RES

<222> (3)..(3)

<223> ornithine

<220>

<221> MOD_RES

<222> (5)..(5)

<223> homophenylalanine

<400> 122

Xaa Pro Xaa Gly Xaa Glu Leu
1 5

SEQUENCE LISTING.txt

<210> 123
<211> 6
<212> PRT
<213> Artificial

<220>
<223> no comment

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<220>
<221> MOD_RES
<222> (2)..(2)
<223> ornithine

<400> 123

Xaa Xaa Gly Leu Tyr Leu
1 5

<210> 124
<211> 6
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<213> Artificial

<220>
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<220>
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<222> (2)..(2)
<223> 4-aza-phenylalanine

<400> 124

Xaa Xaa Gly Leu Tyr Leu
1 5

<210> 125

SEQUENCE LISTING.txt

<211> 6
<212> PRT
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<220>
<223> no comment

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<222> (1)..(1)
<223> acetyl-proline

<220>
<221> MOD_RES
<222> (4)..(4)
<223> homophenylalanine

<220>
<221> MOD_RES
<222> (5)..(5)
<223> 2,4-diaminobutanoic acid

<400> 125

Xaa Leu Gly Xaa Xaa Leu
1 5

<210> 126
<211> 6
<212> PRT
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<220>
<223> no comment

<220>
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<223> acetyl-proline

<220>
<221> MOD_RES
<222> (4)..(4)
<223> homophenylalanine

<400> 126

SEQUENCE LISTING.txt

Xaa Leu Gly Xaa Lys Leu
1 5

<210> 127
<211> 6
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<220>
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<220>

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<223> norleucine

<400> 132

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<210> 133

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1 5

<210> 137

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<223> 4-aza-phenylalanine

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1 5

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1 5

<210> 139

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<210> 140

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 <223> 2-carboxyazetidine

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<220>
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<221> MOD_RES

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<223> homophenylalanine

<220>

<221> MOD_RES

<222> (5)..(5)

<223> ornithine

<400> 143

Xaa Leu Gly Xaa Xaa Leu
1 5

<210> 144

<211> 6

<212> PRT

<213> Artificial

<220>

<223> no comment

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<223> acetyl-2-carboxyazetidine

<400> 144

SEQUENCE LISTING.txt

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1 5

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<400> 145

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<400> 146

SEQUENCE LISTING.txt

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<400> 147

Xaa Leu Gly Xaa Tyr Gly
1 5

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<400> 148

Xaa Leu Gly Leu Tyr Xaa

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Xaa Leu Gly Xaa Tyr Xaa
1 5

<210> 150
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<220>
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<220>
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<223> beta-alanine

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1 5

<210> 151

<211> 6

<212> PRT

<213> Artificial

<220>

<223> no comment

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<223> 6-aminohexanoic acid

<400> 151

Xaa Leu Gly Leu Tyr Xaa
1 5

<210> 152

<211> 6

<212> PRT

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<223> no comment

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<220>

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<222> (6)..(6)

<223> 4-amino-5-phenylpentanoic acid

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<400> 152

Xaa Leu Gly Leu Tyr Xaa
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<210> 153

<211> 6

<212> PRT

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<223> no comment

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<220>

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<223> 4-amino-7-methylheptanoic acid

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Xaa Leu Gly Leu Tyr Xaa
1 5

<210> 154

<211> 7

<212> PRT

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<220>

<223> no comment

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<400> 154

Xaa Leu Gly Leu Leu Ala Leu
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<210> 155

SEQUENCE LISTING.txt

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<400> 156

Xaa Pro Leu Gly Leu Ala Leu
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<223> no comment

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<400> 157

Xaa Leu Gly Leu Ala Ala Leu
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<220>

<223> no comment

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<400> 158

Xaa Leu Gly Leu Ala Leu Leu
1 5

<210> 159

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<223> no comment

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<222> (1)..(1)

<223> acetyl-proline

<400> 159

Xaa Leu Gly Leu Leu Ser Leu
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<210> 160

<211> 7

<212> PRT

<213> Artificial

<220>

<223> no comment

SEQUENCE LISTING.txt

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<400> 160

Xaa Leu Gly Leu Leu Leu Leu
 1 5

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<220>
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<400> 162

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<400> 163

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1 5

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<400> 164

Xaa Xaa Tyr Leu
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<223> no comment

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<223> N-methylpiperazinepropyl-glycine

<400> 165

Xaa Leu Gly Xaa Xaa Leu
1 5

<210> 166

<211> 6

<212> PRT

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<223> no comment

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<223> homophenylalanine

<400> 166

Xaa Leu Gly Xaa Tyr Leu

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<400> 167

Xaa Leu Gly Xaa Tyr Leu
 1 5

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Xaa Leu Gly Xaa Tyr Xaa
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<210> 169

<211> 6

<212> PRT

<213> Artificial

<220>

<223> no comment

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<223> O-benzyl-serine

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<210> 170

<211> 6

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<223> no comment

<220>

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<223> homo-tyrosine

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<210> 171

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<220>

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<223> 4-aza-hydroxy-phenylalanine

<220>

<221> MOD_RES

<222> (4)..(4)

<223> 4-aza-hydroxy-phenylalanine

<400> 171

Xaa Xaa Gly Xaa Tyr Leu
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<210> 172

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<400> 172

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SEQUENCE LISTING.txt

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Xaa Leu Gly Xaa Xaa Leu
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<210> 175
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<220>
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<400> 175

Xaa Leu Gly Xaa Tyr Leu
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SEQUENCE LISTING.txt

<222> (1)..(1)
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<220>
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<223> O-benzyl-serine

<400> 176

Xaa Pro Leu Gly Xaa Tyr Leu
1 5

<210> 177
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<220>
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<220>
<221> MOD_RES
<222> (5)..(5)
<223> O-benzyl-serine

<220>
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<400> 177

Xaa Pro Leu Gly Xaa Tyr Xaa
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<210> 178
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SEQUENCE LISTING.txt

<220>
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<220>
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<220>
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<223> 2-pyrazinecarbonyl-proline

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<400> 179

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<400> 180

Xaa Leu Gly Xaa Xaa Xaa

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5

<210> 181

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<212> PRT

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SEQUENCE LISTING.txt

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<210> 182
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<400> 182

Xaa Leu Gly Xaa Tyr Xaa
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<210> 183
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<220>
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<220>
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<222> (4)..(4)
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<400> 183

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<210> 184
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<220>
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<222> (5)..(5)
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<222> (7)..(7)
<223> norleucine

<400> 184

Xaa Pro Leu Gly Xaa Tyr Xaa
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Xaa Pro Leu Gly Xaa Tyr Leu
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Pro Leu Gly Xaa Tyr Xaa
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Pro Leu Gly Xaa Glu Xaa
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Pro Leu Gly Leu
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Pro Leu Gly Leu Leu Tyr Leu
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SEQUENCE LISTING.txt

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Gly Pro Leu Gly Leu
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Asp Pro Leu Gly Leu
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Pro Glu Gln Gly Leu
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Pro Gln Gly Leu
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Pro Leu Gly Leu Xaa Ala Arg
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Pro Leu Gly Xaa
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